

STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 116998

TO: Shailendra Kumar
Location: 5d61 / 5c18
Tuesday, March 16, 2004
Art Unit: 1621
Phone: 272-0640
Serial Number: 09 / 580503

From: Jan Delaval
Location: Biotech-Chem Library
Rem 1A51
Phone: 272-2504
jan.delaval@uspto.gov

Search Notes

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: S. Kumar Examiner #: 695911 Date: 3/16/04
 Art Unit: 1621 Phone Number ~~30~~ 571-272-0114 Serial Number: 091580503
 Mail Box and Bldg/Room Location: REM 5561 Results Format Preferred (circle): PAPER DISK E-MAIL
5C18

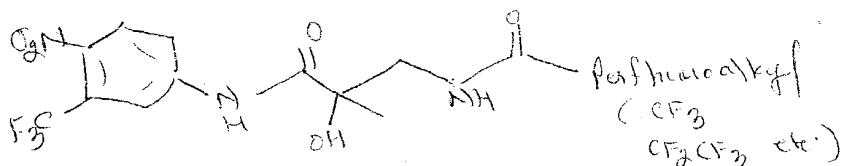
If more than one search is submitted, please prioritize searches in order of need. *mej*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Topical antiandrogen for hair loss and other type hydes-
androgenic andibenz.
 Inventors (please provide full names): Milos Savak et al

Earliest Priority Filing Date: 5/25/2000

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



See claims.

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Searcher: 22504
 Searcher Phone #: 22504
 Searcher Location: _____
 Date Searcher Picked Up: 10/20
 Date Completed: _____
 Searcher Prep & Review Time: _____
 Clerical Prep Time: _____
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Type of Search

NA Sequence (#) _____
 AA Sequence (#) _____
 Structure (#) ☒ _____
 Bibliographic _____
 Litigation _____
 Fulltext _____
 Patent Family _____
 Other _____

Vendors and cost where applicable

STN ☒ _____
 Dialog _____
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 Sequence Systems _____
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 Other (specify) _____

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STRUCTURE FILE UPDATES: 15 MAR 2004 HIGHEST RN 663595-21-9
 DICTIONARY FILE UPDATES: 15 MAR 2004 HIGHEST RN 663595-21-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

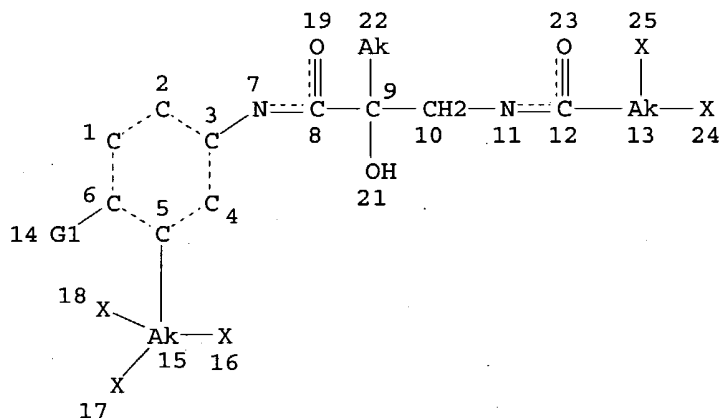
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
 information enter HELP PROP at an arrow prompt in the file or refer
 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que l34

L32 STR



VAR G1=NO2/CN/X

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

L34 5 SEA FILE=REGISTRY SSS FUL L32

100.0% PROCESSED 112 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

=> d his

(FILE 'HOME' ENTERED AT 16:29:52 ON 16 MAR 2004)

SET COST OFF

FILE 'REGISTRY' ENTERED AT 16:30:03 ON 16 MAR 2004

L1 STR
L2 STR L1
L3 0 S L2

FILE 'HCAPLUS' ENTERED AT 16:34:07 ON 16 MAR 2004

E BIOPHY/PA,CS
E BIOPHYSICA/PA,CS
L4 28 S E3-E14
E SOVAK M/AU
L5 67 S E3,E8
E SELIGSON A/AU
L6 26 S E4-E6
E CAMPION B/AU
L7 29 S E3-E5,E9-E11
E BROWN J/AU
L8 350 S E3
E BROWN J W/AU
L9 108 S E3-E6
E BROWN JASON/AU
L10 20 S E3,E15
E US20040014732/PN
L11 1 S E3
L12 1 S L4-L10 AND L11
L13 2 S 2 HYDROXY 2 METHYL N 4 (1W) 3 TRIFLUOROMETHYL PHENYL 3 (L) PE
L14 2 S L11-L13 AND L4-L10
SEL RN

FILE 'REGISTRY' ENTERED AT 16:38:36 ON 16 MAR 2004

L15 6 S E1-E6
L16 3 S L15 AND C6/ES AND F/ELS AND 1/NR
L17 2 S L16 NOT BP 34/CN
SEL RN
L18 0 S E7-E8/CRN

FILE 'HCAOLD' ENTERED AT 16:40:40 ON 16 MAR 2004

FILE 'HCAPLUS' ENTERED AT 16:40:40 ON 16 MAR 2004

L19 2 S BP766 OR BP 766 OR FLURIDIL# OR BP780 OR BP 780
L20 6 S L16
L21 21 S BP34 OR BP 34
L22 6 S L14,L19,L20
L23 2 S L21 AND L22
L24 5 S L13,L14,L17,L19
L25 5 S L20,L21 AND L24
L26 5 S L25 AND L4-L14
L27 2 S L21 AND L4-L14
L28 5 S L26,L27
L29 5 S L28 AND L20

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L30 STR L2
L31 0 S L30
L32 STR L30
L33 0 S L32
L34 5 S L32 FUL
SAV L34 KUMAR580/A
L35 3 S L34 NOT L17

FILE 'HCAPLUS' ENTERED AT 16:45:40 ON 16 MAR 2004

L36 4 S L35

L37 4 S BP731 OR BP562 OR BP521 OR BP() (731 OR 562 OR 521)
L38 9 S L36,L37,L29
L39 6 S L38 AND L4-L11
L40 9 S L38,L39
L41 3 S L40 NOT L36,L29
L42 6 S L40 NOT L41

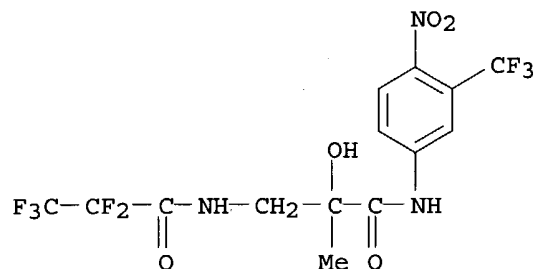
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L43 3 S L34,L16,L17

FILE 'REGISTRY' ENTERED AT 16:47:14 ON 16 MAR 2004

=> s l34 or l16
L44 6 L34 OR L16

=> d ide can tot

L44 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN
RN 353279-25-1 REGISTRY
CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN BP 780
FS 3D CONCORD
MF C14 H11 F8 N3 O5
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

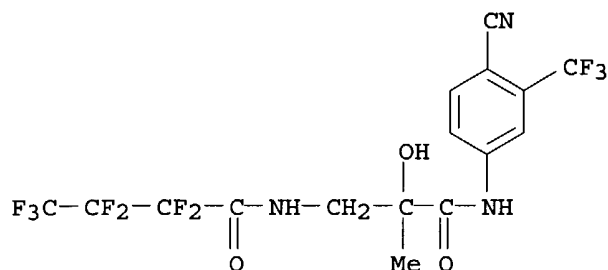
3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698

REFERENCE 2: 140:116934

REFERENCE 3: 135:152631

L44 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN
RN 279229-05-9 REGISTRY
CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN BP 713
FS 3D CONCORD
MF C16 H11 F10 N3 O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:337684

REFERENCE 2: 135:180626

REFERENCE 3: 133:73866

L44 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 279228-83-0 REGISTRY

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI)
(CA INDEX NAME)

OTHER NAMES:

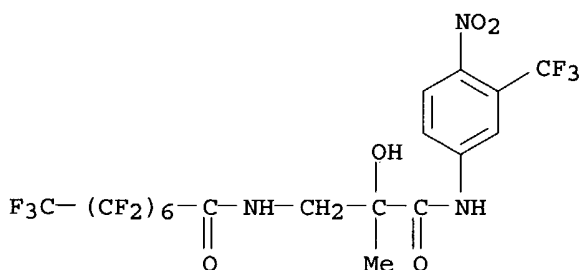
CN BP 562

FS 3D CONCORD

MF C19 H11 F18 N3 O5

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698

REFERENCE 2: 137:337684

REFERENCE 3: 135:180626

REFERENCE 4: 133:73866

L44 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 279228-82-9 REGISTRY

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

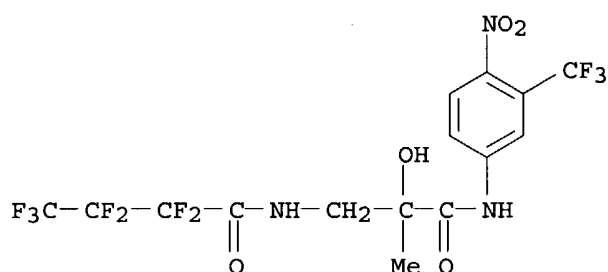
CN BP 521

FS 3D CONCORD

MF C15 H11 F10 N3 O5

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698

REFERENCE 2: 137:337684

REFERENCE 3: 135:180626

REFERENCE 4: 133:73866

L44 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 279228-81-8 REGISTRY

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

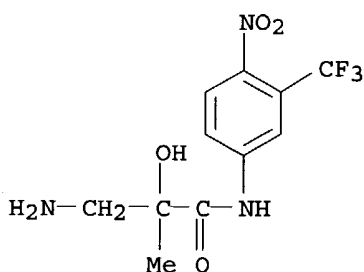
CN BP 34

FS 3D CONCORD

MF C11 H12 F3 N3 O4

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



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6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698
REFERENCE 2: 140:116934
REFERENCE 3: 137:337684
REFERENCE 4: 135:180626
REFERENCE 5: 135:152631
REFERENCE 6: 133:73866

L44 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 260980-89-0 REGISTRY

CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-
[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN BP 766

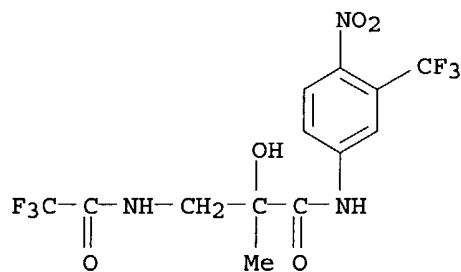
CN Fluridil

FS 3D CONCORD

MF C13 H11 F6 N3 O5

SR CAS Client Services

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:122698
REFERENCE 2: 140:116934
REFERENCE 3: 137:337684
REFERENCE 4: 135:180626
REFERENCE 5: 135:152631

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 16:47:39 ON 16 MAR 2004

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FILE COVERS 1907 - 16 Mar 2004 VOL 140 ISS 12
 FILE LAST UPDATED: 15 Mar 2004 (20040315/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

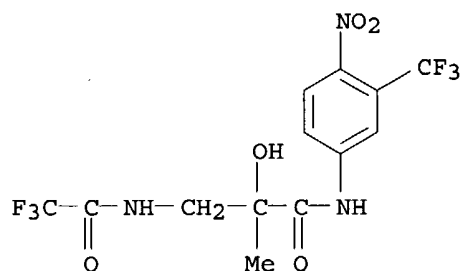
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L42 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2004:60141 HCAPLUS
 DN 140:116934
 ED Entered STN: 26 Jan 2004
 TI A topical antiandrogen for hair loss and other hyperandrogenic conditions
 IN Sovak, Milos; Seligson, Allen L.; Campion, Brian; Brown, Jason W.
 PA Biophysica, Inc., USA
 SO U.S. Pat. Appl. Publ., 6 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 IC ICM A61K031-56
 NCL 514169000
 CC 62-3 (Essential Oils and Cosmetics)
 Section cross-reference(s): 1, 63
 FAN.CNT 1

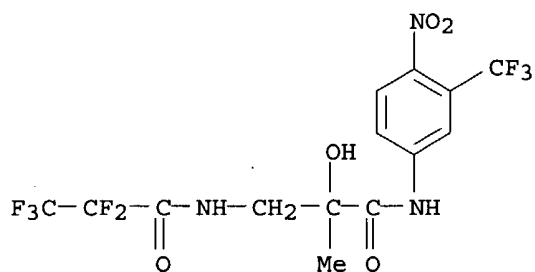
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004014732	A1	20040122	US 2000-580503	20000525 <--
PRAI	US 2000-580503		20000525		

AB (2-Hydroxy-2-methyl-N-(4-X-3-(trifluoromethyl)phenyl)-3-(2,2,2-perfluoroacylamino)propionamide) compds. (where X is nitro, cyano or halogen) (such as BP-766 and BP-780) applied topically, specifically inhibit and/or eliminate cutaneous androgen receptors and thus find cosmetic use in skin afflictions associated with excess androgens such as hair effluvium, hirsutism, acne and androgenic alopecia.
 ST hyperandrogenic condition hair loss topical antiandrogen
 IT Androgens
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (antiandrogens; topical antiandrogen for hair loss and other hyperandrogenic conditions)
 IT Hair preparations
 (growth stimulants; topical antiandrogen for hair loss and other hyperandrogenic conditions)
 IT Alopecia
 (topical antiandrogen for hair loss and other hyperandrogenic

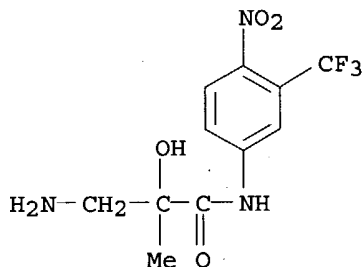
- conditions)
- IT Androgen receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
- IT Drug delivery systems
(topical; topical antiandrogen for hair loss and other hyperandrogenic conditions)
- IT **260980-89-0, BP 766 353279-25-1, BP 780**
RL: COS (Cosmetic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
- IT 90357-50-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
- IT **279228-81-8P, BP 34**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
- IT **260980-89-0, BP 766 353279-25-1, BP 780**
RL: COS (Cosmetic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical antiandrogen for hair loss and other hyperandrogenic conditions)
- RN 260980-89-0 HCAPLUS
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



- RN 353279-25-1 HCAPLUS
CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



IT 279228-81-8P, BP 34
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (topical antiandrogen for hair loss and other hyperandrogenic
 conditions)
 RN 279228-81-8 HCAPLUS
 CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-
 (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L42 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:625424 HCAPLUS
 DN 140:122698
 ED Entered STN: 14 Aug 2003
 TI Development of fluridil, a topical suppressor of the androgen
 receptor in androgenetic alopecia
 AU Seligson, Allen L.; Campion, Brian K.; Brown,
 Jason W.; Terry, Ron C.; Kucerova, Renata; Bienova, Martina; Hajduch,
 Marian; Sovak, Milos
 CS Biophysics, Inc., La Jolla, CA, USA
 SO Drug Development Research (2003), 59(3), 292-306
 CODEN: DDREDK; ISSN: 0272-4391
 PB Wiley-Liss, Inc.
 DT Journal
 LA English
 CC 1-12 (Pharmacology)
 AB Nonsteroidal antiandrogens (AA) cannot be topically used for androgenetic
 alopecia (AGA) because of systemic resorption. A new class of androgen
 receptor (AR) suppressors designed for safe topical treatment of AGA was
 synthesized from (3-amino-2-hydroxy-2-methyl-N-(4-nitro-3-trifluoro-
 methyl)phenyl) propanamide (BP-34), to contain
 perfluoroalkyl moieties. The trifluoromethyl derivative (fluridil)
 at 10 µM decreased expression of the AR in LNCaP human cells by 95%,
 its serum half-life was 6 h; it decomps. hydrolytically to BP-
 34 and trifluoroacetic acid. Acute i.p. maximum tolerated dose (MTD)
 of fluridil in mice is 270-300 mg/kg/d and the subacute MTD is
 450 mg/kg/d. The oral LD50 in mice was 2,872 mg/kg in males, 2,232 mg/kg
 in females, and >2,500 mg/kg in rats. Fluridil solution in
 isopropanol was not cutaneously absorbed in rabbits, did not sensitize or
 show any phototoxic or photoallergic effects on guinea pig skin, and
 demonstrated no skin irritation potential in rabbits and humans.
 Fluridil solid induced only slight and reversible eye irritancy in
 rabbits and displayed no cytotoxicity to rabbit corneal fibroblasts in
 vitro. Fluridil demonstrated no significant mutagenicity
 potential by Ames method. In a double-blind study, 43 males with AGA,
 Norwood grade II to Va, used topical 2% fluridil in isopropanol
 or the vehicle daily for 12 mo. Anagens (growing hairs) increased in the
 fluridil group from 76% to 89%. All hematol. and biochem. values
 remained within normal range, including testosterone, which varied but
 seasonally. No fluridil or its decomposition product (BP-

- 34) was detected in serum. No adverse side effects were reported.
- ST **fluridil** topical androgenetic alopecia treatment
- IT Human
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)
- IT Drug delivery systems
(topical; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)
- IT **279228-81-8P, BP 34**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**BP 34**; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)
- IT **353279-25-1P, BP 780**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(**BP 780**; development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)
- IT **260980-89-0P, Fluridil**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)
- IT **279228-82-9P, BP 521 279228-83-0P, BP 562**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)
- IT **90357-50-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(development of **fluridil**, a topical suppressor of the androgen receptor in androgenetic alopecia)

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

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IT 279228-81-8P, BP 34

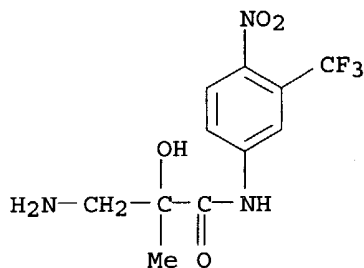
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(BP 34; development of fluridil, a

topical suppressor of the androgen receptor in androgenetic alopecia)

RN 279228-81-8 HCAPLUS

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

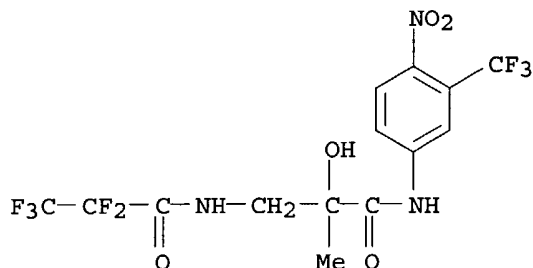


IT 353279-25-1P, BP 780

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(BP 780; development of **fluridil**, a
topical suppressor of the androgen receptor in androgenetic alopecia)

RN 353279-25-1 HCAPLUS

CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

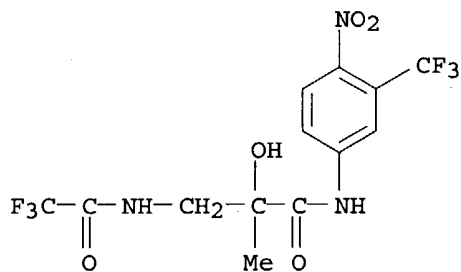


IT 260980-89-0P, **Fluridil**

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(development of **fluridil**, a topical suppressor of the
androgen receptor in androgenetic alopecia)

RN 260980-89-0 HCAPLUS

CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

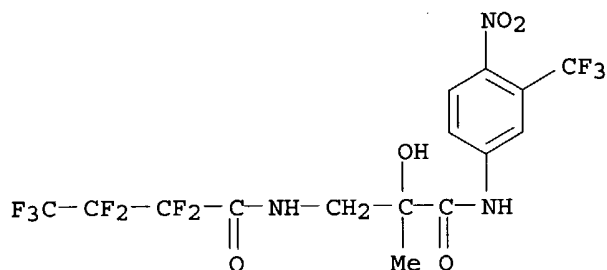


IT 279228-82-9P, BP 521 279228-83-0P,
BP 562

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(development of **fluridil**, a topical suppressor of the
androgen receptor in androgenetic alopecia)

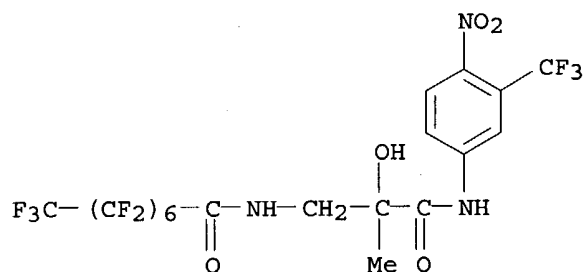
RN 279228-82-9 HCAPLUS

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279228-83-0 HCAPLUS

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI)
(CA INDEX NAME)



L42 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:830256 HCAPLUS

DN 137:337684

ED Entered STN: 31 Oct 2002

TI Synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes

IN **Sovak, Milos; Seligson, Allen L.; Douglas, James Gordon, III; Campion, Brian; Brown, Jason W.**

PA **Biophysica, Inc., USA**

SO U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 215,351.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-415

ICS A61K031-275; C07D233-72; C07D233-88

NCL 514398000

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6472415	B1	20021029	US 2000-502376	20000211
	US 6184249	B1	20010206	US 1998-215351	19981218
	WO 2001058854	A1	20010816	WO 2000-US14792	20000525

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1169301 A1 20020109 EP 2000-937913 20000525
 EP 1169301 B1 20040218
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BR 2000008439 A 20020423 BR 2000-8439 20000525
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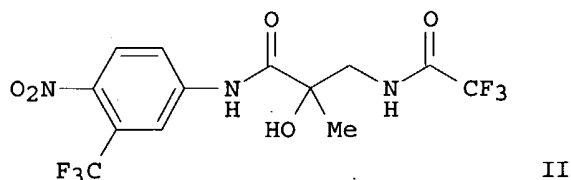
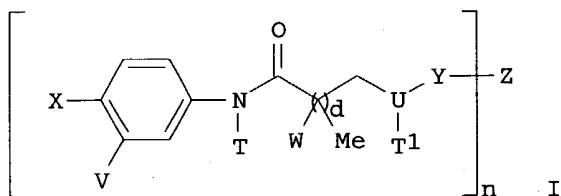
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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PRAI US 1998-215351 A2 19981218
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OS CASREACT 137:337684; MARPAT 137:337684
 GI



AB Title compds. I [X = NO₂, CN, halo; V = CF₃, halo, H; W = OH when T = H and is Me when T, T1 are taken together to form a C:Z bridge; U = N when T, T1 are taken together to form a C:Z bridge or is taken together with T to form a bond or O or N; Q = chalcogen; n = 1-2; d = 0-1; when d = 0, T, T1 = H; when d is 1, then: when n is 1, Y = bond, linking group; Z when other than taken together with Y, is aliphatic, polyfluoroacylamido, haloanilino, and when n is 2, Y and Z are taken together to form a bond or

a linking group] as well as radiolabeled derivs. were prepared. The compds. bind specifically to the androgen receptor and find use in indications associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenic alopecia. Thus, II was prepared by ammonolysis of the corresponding epoxide and amidation with trifluoroacetic anhydride. II was formulated in isopropanol and water and measured for shelf-stability and shows a 9% androgen receptor remaining when tested at 100M against LNCaP.

ST prostate cancer hirsutism acne aniline; aniline prepn androgen receptor suppressor; alopecia hyper androgenic syndrome aniline

IT Hyperplasia

(cell; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Alopecia

(male pattern; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Androgen receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study) (suppressors; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Acne

Alopecia

Antitumor agents

Hirsutism

Human

Neoplasm

Prostate gland, neoplasm

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT Acne

(vulgaris; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 260980-89-0P, Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]-

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 279228-81-8P, Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-

279228-88-5P, Benzonitrile, 4-(3,3-dimethyl-2,5-dioxo-1-pyrrolidinyl)-2-(trifluoromethyl)-279228-89-6P, Benzonitrile, 2-(trifluoromethyl)-4-(3,3,4-trimethyl-2,5-dioxo-1-pyrrolidinyl)-279228-90-9P, Benzonitrile, 4-(3,3,4,4-tetramethyl-2,5-dioxo-1-pyrrolidinyl)-2-(trifluoromethyl)-279228-91-0P, Benzonitrile, 4-(2-hydroxy-3,3,4,4-tetramethyl-5-oxo-1-pyrrolidinyl)-2-(trifluoromethyl)-279228-93-2P, Carbamic acid, [4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-4-imino-5,5-dimethyl-2-thioxo-1-imidazolidinyl]butyl]-, 1,1-dimethylethyl ester 279228-94-3P, Benzonitrile, 4-[3-(4-aminobutyl)-5-imino-4,4-dimethyl-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)-279229-04-8P, Benzonitrile, 2-(trifluoromethyl)-4-[3,3,4-trimethyl-2,5-dioxo-4-(2-propynyl)-1-pyrrolidinyl]-279229-08-2P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-(2-propynyloxy)-
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 22205-17-0P, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-(2-mercaptoethyl)-186798-86-7P, Benzonitrile, 4-[3-[(2E)-3-iodo-2-propenyl]-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)-186798-87-8P, Benzonitrile, 4-[3-[(2Z)-3-iodo-2-propenyl]-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)-279228-82-9P, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]-279228-83-0P, Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]-279228-84-1P, Propanamide, 3-[(2,2,3,3,4,4,4-heptafluorobutyl)amino]-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-279228-85-2P, Butanamide, N-[2-[[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]thio]ethyl]-2,2,3,3,4,4,4-heptafluoro-279228-87-4P, Butanamide, N-[2-[[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]sulfonyl]ethyl]-2,2,3,3,4,4,4-heptafluoro-279228-92-1P, Benzonitrile, 4-[2-(2,2,3,3,4,4,4-heptafluorobutoxy)-3,3,4,4-tetramethyl-5-oxo-1-pyrrolidinyl]-2-(trifluoromethyl)-279228-96-5P, Butanamide, N-[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-4-imino-5,5-dimethyl-2-thioxo-1-imidazolidinyl]butyl]-2,2,3,3,4,4,4-heptafluoro-279228-97-6P, Thiourea, N-[4-cyano-3-(trifluoromethyl)phenyl]-N'-(2,2,3,3,4,4,4-heptafluorobutyl)-279228-98-7P, Propanamide, 2-hydroxy-2-methyl-3-[methyl[3-phenyl-3-[4-(trifluoromethyl)phenoxy]propyl]amino]-N-[4-nitro-3-(trifluoromethyl)phenyl]-279228-99-8P, Propanamide, 3,3'-iminobis[2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-279229-00-4P, 7,10-Dioxa-4,13-diazahexadecanediamide, 2,15-dihydroxy-2,15-dimethyl-N,N'-bis[4-nitro-3-(trifluoromethyl)phenyl]-279229-01-5P, Propanamide, 3,3'-iminobis[N-(4-chlorophenyl)-2-hydroxy-2-methyl-279229-02-6P, Propanamide, 3-[[[4-bromophenyl]amino]thioxomethyl]amino]-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-279229-03-7P, Propanamide, 3-[[[(cyclohexylmethyl)amino]thioxomethyl]amino]-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-279229-05-9P, Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro-279229-06-0P, Thiourea, N-[4-cyano-3-(trifluoromethyl)phenyl]-N'-propyl-279229-07-1P, Propanamide, 2-hydroxy-3-[[[4-iodophenyl]amino]carbonyl]amino]-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-355010-26-3P, Butanamide, N-[2-[(S)-[(2R)-3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]sulfinyl]ethyl]-2,2,3,3,4,4,4-heptafluoro-, rel-355015-67-7P, Benzonitrile, 4-[3-[4-[(2-aminoethyl)thio]butyl]-5-imino-4,4-dimethyl-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)-355016-11-4P, Butanamide, N-[2-[[4-[3-[4-cyano-3-(trifluoromethyl)phenyl]-4-imino-5,5-dimethyl-2-thioxo-1-imidazolidinyl]butyl]thio]ethyl]-2,2,3,3,4,4,4-heptafluoro-355017-77-5P, Benzonitrile, 2-(trifluoromethyl)-4-[3,3,4-trimethyl-2,5-dioxo-4-(6,7,7-trifluoro-6-hepten-2-ynyl)-1-pyrrolidinyl]-355018-37-0P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[[(2E)-3-(iodo-125I)-2-propenyl]oxy]-2-methyl-355018-40-5P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[[(2Z)-3-(iodo-125I)-2-propenyl]oxy]-2-methyl-355018-41-6P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-3-[[[3,3-di(iodo-125I)-2-propenyl]oxy]-2-hydroxy-2-methyl-355018-47-2P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[[(2E)-3-(iodo-125I)-2-propenyl]thio]-2-methyl-355018-58-5P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-3-[[[(2Z)-3-(iodo-125I)-2-propenyl]thio]-2-methyl-355018-71-2P, BP 554 355018-94-9P, Butanamide, N-[2-[(R)-[(2R)-3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]sulfinyl]ethyl]-2,2,3,3,4,4,4-heptafluoro-, rel-RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 74-88-4, Iodomethane, reactions 106-96-7, Propargyl bromide 107-10-8, 1-Propylamine, reactions 107-19-7, Propargyl alcohol 335-64-8, Pentadecafluorooctanoyl chloride 374-99-2, 2,2,3,3,4,4,4-Heptafluorobutyl amine 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutanol 375-16-6, Heptafluorobutyl chloride 407-25-0, Trifluoroacetic anhydride 654-70-6, 4-Amino-2-trifluoromethylbenzonitrile 929-59-9 1095-85-8, 2-(Triphenylmethylthio)ethylamine 1336-21-6, Ammonium hydroxide 1985-12-2, 4-Bromophenylisothiocyanate 7664-41-7, Ammonia, reactions 10493-44-4, 4-Bromo-1,1,2-trifluorobut-1-ene 15845-62-2, p-Iodophenylisocyanate 17347-61-4, 2,2-Dimethylsuccinic anhydride 24359-64-6, Sodium iodide (NaI25I) 27846-30-6, 2-Propyne-1-thiol 52395-66-1, Cyclohexylmethylisothiocyanate 54910-89-3, Fluoxetine 65925-79-3, Oxiranecarboxamide, N-(4-chlorophenyl)-2-methyl- 90357-50-9, BP 33 90357-51-0, Oxiranecarboxamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-methyl- 143782-23-4, Benzonitrile, 4-isothiocyanato-2-(trifluoromethyl)- 186798-67-4, Benzonitrile, 4-[4,4-dimethyl-5-oxo-3-(2-propynyl)-2-thioxo-1-imidazolidinyl]-2-(trifluoromethyl)- 279229-13-9, Carbamic acid, [4-[(1-cyano-1-methylethyl)amino]butyl]-, 1,1-dimethylethyl ester 279229-14-0, Propanamide, 3-amino-N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

IT 279229-09-3P, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-[(triphenylmethyl)thio]ethyl]- 279229-11-7P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-(2-propynylthio)- 355117-71-4P, Benzonitrile, 4-[4,4-dimethyl-5-oxo-2-thioxo-3-[3-(tributylstannyl)-2-propenyl]-1-imidazolidinyl]-2-(trifluoromethyl)- 355117-77-0P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-[[2E]-3-(tributylstannyl)-2-propenyl]oxy]- 355117-78-1P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-[[2Z]-3-(tributylstannyl)-2-propenyl]oxy]- 355117-82-7P, Propanamide, 3-[[3,3-bis(tributylstannyl)-2-propenyl]oxy]-N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-355117-86-1P, Propanamide, N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-3-[[2E]-3-(tributylstannyl)-2-propenyl]thio]- 355118-01-3P, BP 550 355118-23-9P, Propanamide, 3-[[3,3-bis(tributylstannyl)-2-propenyl]thio]-N-[4-cyano-3-(trifluoromethyl)phenyl]-2-hydroxy-2-methyl-

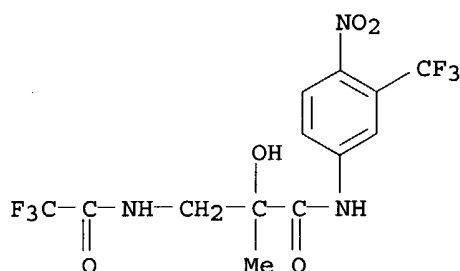
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

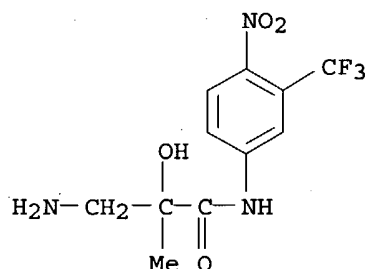
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 IT **260980-89-0P**, Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]-
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
 RN 260980-89-0 HCAPLUS
 CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



- IT **279228-81-8P**, Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
 RN 279228-81-8 HCAPLUS
 CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



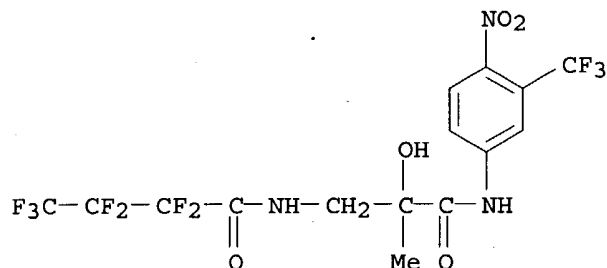
- IT **279228-82-9P**, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]-
279228-83-0P, Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- **279229-05-9P**,
 Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro-
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

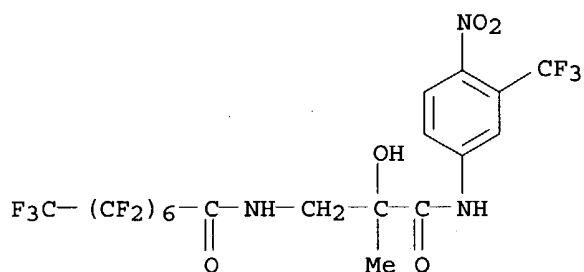
RN 279228-82-9 HCAPLUS

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



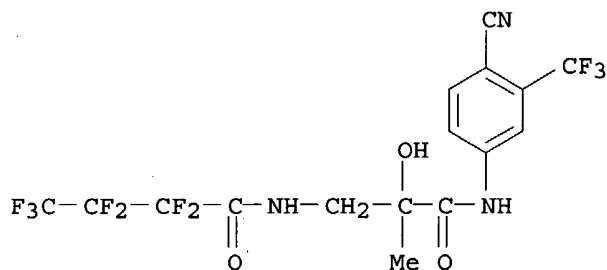
RN 279228-83-0 HCAPLUS

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 HCAPLUS

CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



L42 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:597944 HCAPLUS

DN 135:180626

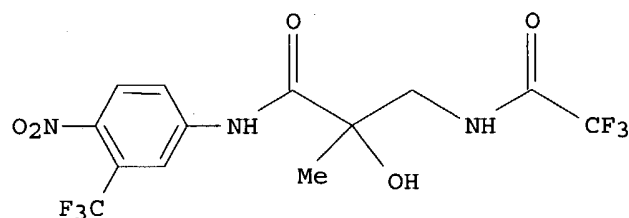
ED Entered STN: 17 Aug 2001

TI Synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and

other hyper-androgenic syndromes
 IN **Sovak, Milos; Seligson, Allen; Douglass, James Gordon, III; Brown, Jason W.; Campion, Brian**
 PA **Biophysics, Inc, USA**
 SO PCT Int. Appl., 47 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C237-22
 ICS A61K031-165; A61P005-28
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 63

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058855	A1	20010816	WO 2001-US4290	20010209
	WO 2001058855	C2	20021031		
	W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	US 6472415	B1	20021029	US 2000-502376	20000211
	JP 2003522752	T2	20030729	JP 2001-558407	20010209
PRAI	US 2000-502376	A	20000211		
	US 1998-215351	A2	19981218		
	WO 2001-US4290	W	20010209		
OS	CASREACT 135:180626; MARPAT 135:180626				
GI					



I

AB Synthesis of substituted anilines, e.g. (I), are provided comprising an hydantoin, urea or 2-hydroxyl-2-methylpropionyl group, dimers and alkyl, polyfluoroamido and haloarylamino derivs., as well as radiolabeled derivs. The compds. bind specifically to the androgen receptor and find use in indications associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenic alopecia. Thus, I was prepared by ammonolysis of the corresponding epoxide and amidation with trifluoroacetic anhydride. I was formulated in isopropanol and water and measured for shelf-stability and shows a 9% androgen receptor remaining when tested at 10uM against LNCaP.

ST prostate cancer hirsutism acne aniline; aniline prepn androgen receptor suppressor; alopecia hyper androgenic syndrome aniline

IT Alopecia
 (male pattern; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate

- cancer, alopecia and other hyper-androgenic syndromes)
- IT Prostate gland
(neoplasm, inhibitors; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT Antitumor agents
(prostate gland; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT Androgen receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(suppressors; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT Acne
Hirsutism
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT 121-44-8, Triethylamine, miscellaneous 141-78-6, Ethyl acetate, miscellaneous
RL: MSC (Miscellaneous)
(solvent; synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT **260980-89-0P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT 22205-17-0P **279228-81-8P** 279228-85-2P 279228-88-5P
279228-89-6P 279228-90-9P 279228-91-0P 279228-93-2P 279228-94-3P
279229-04-8P 279229-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT 186798-86-7P 186798-87-8P **279228-82-9P** **279228-83-0P**
279228-84-1P 279228-87-4P 279228-92-1P 279228-96-5P 279228-97-6P
279228-98-7P 279228-99-8P 279229-00-4P 279229-01-5P 279229-02-6P
279229-03-7P **279229-05-9P** 279229-06-0P 279229-07-1P
355010-26-3P 355016-11-4P 355017-77-5P 355018-37-0P 355018-40-5P
355018-41-6P 355018-47-2P 355018-58-5P 355018-71-2P, BP 554
355018-94-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT 7487-88-9, Magnesium sulfate, uses 7647-01-0, Hydrochloric acid, uses
RL: NUU (Other use, unclassified); USES (Uses)
(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
- IT 74-88-4, Iodomethane, reactions 106-96-7, Propargyl bromide 107-10-8,

1-Propylamine, reactions 107-19-7, Propargyl alcohol 335-64-8,
 Pentadecafluorooctanoyl chloride 374-99-2, 2,2,3,3,4,4,4-
 Heptafluorobutyl amine 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutanol
 375-16-6, Heptafluorobutyryl chloride 407-25-0, Trifluoroacetic
 anhydride 654-70-6, 4-Amino-2-trifluoromethylbenzonitrile 929-59-9,
 Jeffamine XTJ 504 1095-85-8, 2-(Triphenylmethylthio)ethylamine
 1336-21-6, Ammonium hydroxide 1985-12-2, 4-Bromophenylisothiocyanate
 7664-41-7, Ammonia, reactions 10493-44-4, 4-Bromo-1,1,2-trifluorobut-1-
 ene 15845-62-2, p-Iodophenylisocyanate 17347-61-4,
 2,2-Dimethylsuccinic anhydride 24359-64-6, Sodium iodide (NaI25I)
 27846-30-6, 2-Propyne-1-thiol 52395-66-1, Cyclohexylmethylisothiocyanate
 54910-89-3, Fluoxetine 65925-79-3 90357-50-9, BP 33 90357-51-0
 143782-23-4 186798-67-4 279229-13-9 279229-14-0 355015-67-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and activity of substituted anilines as androgen receptor
 suppressors in the therapy and diagnosis of prostate cancer, alopecia
 and other hyper-androgenic syndromes)

IT 279229-09-3P 279229-11-7P 355117-71-4P 355117-77-0P 355117-78-1P
 355117-82-7P 355117-86-1P 355118-01-3P, BP 550 355118-23-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(synthesis and activity of substituted anilines as androgen receptor
 suppressors in the therapy and diagnosis of prostate cancer, alopecia
 and other hyper-androgenic syndromes)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(4), P885 HCAPLUS

(2) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(5), P954 HCAPLUS

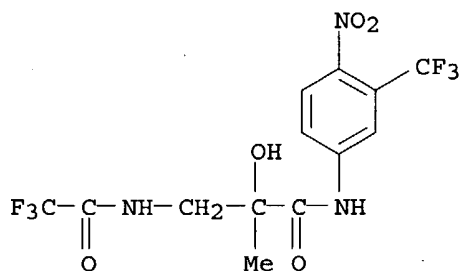
IT 260980-89-0P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
 effector, except adverse); BSU (Biological study, unclassified); SPN
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
 PREP (Preparation); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor
 suppressors in the therapy and diagnosis of prostate cancer, alopecia
 and other hyper-androgenic syndromes)

RN 260980-89-0 HCAPLUS

CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-
 [(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



IT 279228-81-8P

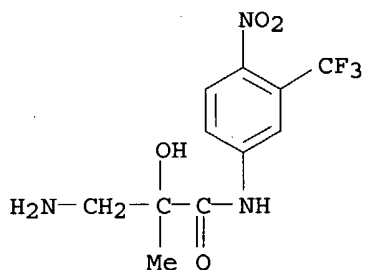
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
 (Reactant or reagent); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor
 suppressors in the therapy and diagnosis of prostate cancer, alopecia
 and other hyper-androgenic syndromes)

RN 279228-81-8 HCAPLUS

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-

(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



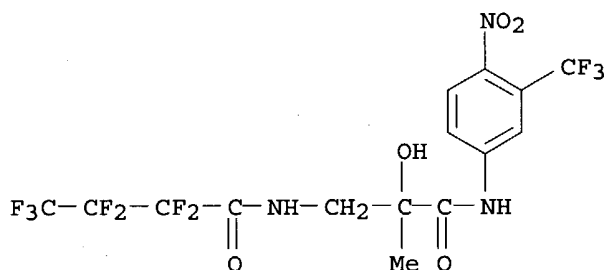
IT 279228-82-9P 279228-83-0P 279229-05-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

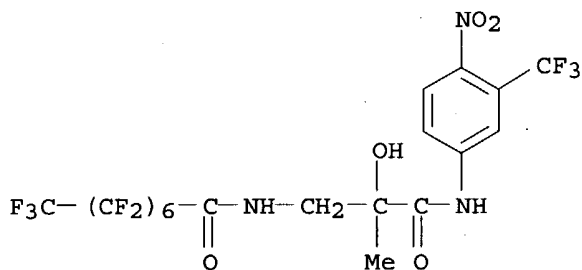
RN 279228-82-9 HCAPLUS

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



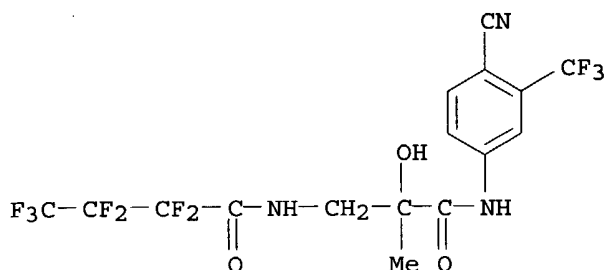
RN 279228-83-0 HCAPLUS

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 HCAPLUS

CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



L42 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:597943 HCAPLUS
 DN 135:152631
 ED Entered STN: 17 Aug 2001
 TI Preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions
 IN **Sovak, Milos; Seligson, Allen L.; Douglass, James Gordon, III; Campion, Brian; Brown, Jason W.**
 PA **Biophysica, Inc., USA**
 SO PCT Int. Appl., 18 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C237-22
 ICS A61K031-165; A61P005-28
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 63

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058854	A1	20010816	WO 2000-US14792	20000525
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6472415	B1	20021029	US 2000-502376	20000211
	EP 1169301	A1	20020109	EP 2000-937913	20000525
	EP 1169301	B1	20040218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000008439	A	20020423	BR 2000-8439	20000525
	DE 10084380	T	20020620	DE 2000-10084380	20000525
	RU 2225390	C2	20040310	RU 2001-130354	20000525
PRAI	US 2000-502376	A	20000211		
	US 1998-215351	A2	19981218		
	WO 2000-US14792	W	20000525		
OS	CASREACT 135:152631; MARPAT 135:152631				
AB	2-Hydroxy-2-methyl-N-[4-X-3-(trifluoromethyl)phenyl]-3-[2,2,2-perfluoroacylamino]propionamides (X = nitro, cyano, halogen of atomic number 9 -35; perfluoroacylamido = 2-3 carbon atoms and 0-1hydrogen atom) [e.g., 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[2,2,2-				

trifluoroacetylaminolpropanamide] are prepared which, when applied topically, specifically inhibit and/or eliminate cutaneous androgen receptors and thus find cosmetic use in skin afflictions associated with excess androgens such as hair effluvium, hirsutism, acne and androgenic alopecia.

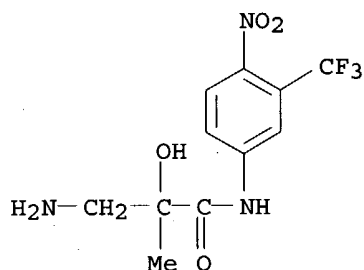
- ST hydroxymethylnitrotrifluoromethylphenyltrifluoroacetylaminopropanamide
prepn topical antiandrogen; baldness treatment prepn
hydroxymethylnitrotrifluoromethylphenyltrifluoroacetylaminopropanamide
topical antiandrogen
- IT Acne
Alopecia
(2-hydroxy-2-methyl-N
-[4-X-3-(trifluoromethyl)phenyl
]-3-[2,2,2-perfluoroacylamino]propionamide
topical antiandrogens for treatment of)
- IT Androgen receptors
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU
(Biological use, unclassified); BIOL (Biological study); PROC (Process);
USES (Uses)
(antagonists; 2-hydroxy-2-methyl
-N-[4-X-3-(trifluoromethyl)
phenyl]-3-[2,2,2-perfluoroacylamino]
propionamides)
- IT Androgens
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiandrogens; 2-hydroxy-2-
methyl-N-[4-X-3-(
trifluoromethyl)phenyl]-3-[2,2,2-
perfluoroacylamino]propionamides)
- IT Hair preparations
(growth stimulants; 2-hydroxy-2-
methyl-N-[4-X-3-(
trifluoromethyl)phenyl]-3-[2,2,2-
perfluoroacylamino]propionamides)
- IT 279228-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
(preparation of topical antiandrogens for the treatment of hair loss and
other hyperandrogenic conditions)
- IT 260980-89-0P 353279-25-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of topical antiandrogens for the treatment of hair loss and
other hyperandrogenic conditions)
- IT 356-42-3, Perfluoropropionic anhydride 407-25-0, Trifluoroacetic
anhydride 90357-50-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of topical antiandrogens for the treatment of hair loss and
other hyperandrogenic conditions)
- RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Biophysica Inc; WO 0037430 A 2000 HCAPLUS
(2) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(4), P885 HCAPLUS
(3) Tucker, H; JOURNAL OF MEDICINAL CHEMISTRY 1988, V31(5), P954 HCAPLUS
- IT 279228-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

(Reactant or reagent); USES (Uses)

(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

RN 279228-81-8 HCAPLUS

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



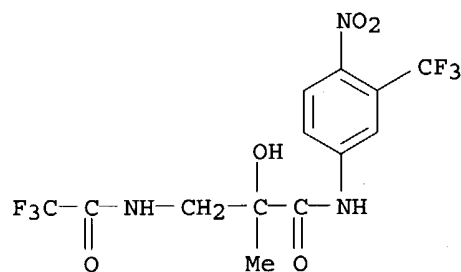
IT 260980-89-0P 353279-25-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of topical antiandrogens for the treatment of hair loss and other hyperandrogenic conditions)

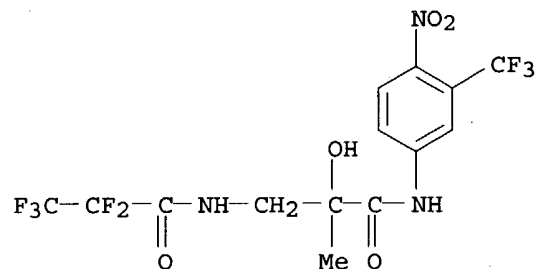
RN 260980-89-0 HCAPLUS

CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



RN 353279-25-1 HCAPLUS

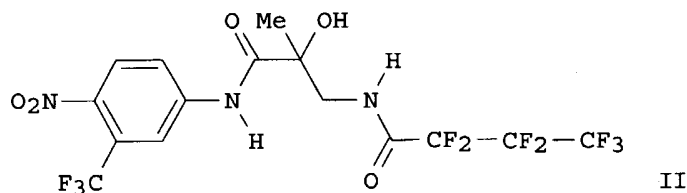
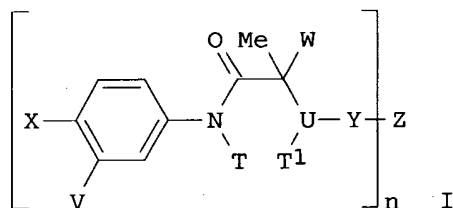
CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



DN 133:73866
 ED Entered STN: 30 Jun 2000
 TI Preparation of amides and ureas as androgen receptor suppressors
 IN **Sovak, Milos; Seligson, Allen L.; Douglas, James**
Gordon, III; Campion, Brian; Brown, Jason W.
 PA **Biophysica, Inc., USA**
 SO PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07C237-04
 ICS A61K031-16; A61K031-40; A61K031-415; C07C237-22; C07C323-60;
 C07C317-48; C07D207-40; C07D207-26; C07D233-88; C07D233-86;
 C07C235-22; C07C335-16; C07C335-08; C07C255-56; C07C255-60;
 C07C275-30; C07D207-36; C07M005-00; A61P005-00
 CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000037430	A2	20000629	WO 1999-US26862	19991112
	WO 2000037430	A3	20030417		
	W: AU, CZ, HU, IL, JP, NO, PL, SK, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6184249	B1	20010206	US 1998-215351	19981218
	EP 1144366	A2	20011017	EP 1999-958948	19991112
	EP 1144366	A3	20030604		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1998-215351	A	19981218		
	WO 1999-US26862	W	19991112		
OS	MARPAT 133:73866				
GI					



AB The title compds. [I; X = NO₂, CN, halo; V = CF₃, halo, H; W = OH when T = H, and W = Me when T and T₁ are taken together to form a C:Z bridge; U = N when T and T₁ are taken together to form a C:Z bridge or is taken together

with T1 to form a bond or O, S or N; n = 1-2 and d = 0-1; Y = a bond, C1-10 linking group containing heteroatoms; Z, when other than taken together with Y, = (un)saturated aliphatic, polyfluoroacrylamidoalkyl and their radiolabeled derivs. which bind specifically to the androgen receptor and find use in indication associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenetic alopecia, were prepared. Thus, treatment of 4-nitro-3-trifluoromethyl-N-(2,3-epoxy-2-methylpropionyl)aniline in MeOH with NH₃ in pressure reactor followed by reacting 4-nitro-3-trifluoromethyl-N-(2-hydroxy-2-methyl-3-aminopropionyl)aniline with heptafluorobutyryl chloride afforded II. Biol. data for compds. I were given.

- ST androgen receptor suppressor amide urea prepn; hyperplasia cell amide urea prepn; hirsutism amide urea prepn; acne amide urea prepn; alopecia amide urea prepn
- IT Alopecia
(androgenetic alopecia; preparation of amides and ureas as androgen receptor suppressors)
- IT Hyperplasia
(cell hyperplasia dependent on androgens; preparation of amides and ureas as androgen receptor suppressors)
- IT Acne
Hirsutism
(preparation of amides and ureas as androgen receptor suppressors)
- IT Androgen receptors
RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)
(preparation of amides and ureas as androgen receptor suppressors)
- IT 279228-81-8P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)
- IT 279228-82-9P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)
- IT 279228-88-5P 279228-89-6P 279228-90-9P 279228-91-0P 279228-93-2P
279228-94-3P 279228-96-5P 279229-04-8P 279229-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)
- IT 186798-86-7P 186798-87-8P 279228-83-0P 279228-84-1P
279228-85-2P 279228-86-3P 279228-87-4P 279228-92-1P 279228-95-4P
279228-97-6P 279228-98-7P 279228-99-8P 279229-00-4P 279229-01-5P
279229-02-6P 279229-03-7P 279229-05-9P 279229-06-0P
279229-07-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as androgen receptor suppressors)
- IT 106-96-7, Propargyl bromide 107-10-8, n-Propylamine, reactions
107-19-7, Propargyl alcohol 335-64-8 374-99-2, 2,2,3,3,4,4,4-Heptafluorobutylamine 375-01-9, 2,2,3,3,4,4,4-Heptafluorobutanol
375-16-6 654-70-6 1985-12-2, 4-Bromophenyl isothiocyanate 2059-76-9, 4-Iodophenyl isothiocyanate 17347-61-4 27846-30-6, 2-Propyne-1-thiol
52395-66-1, Cyclohexylmethyl isothiocyanate 54910-89-3, Fluoxetine
90357-50-9 90357-51-0 143782-23-4 186798-67-4 279229-13-9

279229-14-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of amides and ureas as androgen receptor suppressors)

IT 22205-17-0P 186798-75-4P 279229-09-3P 279229-10-6P 279229-11-7P
279229-12-8PRL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of amides and ureas as androgen receptor suppressors)

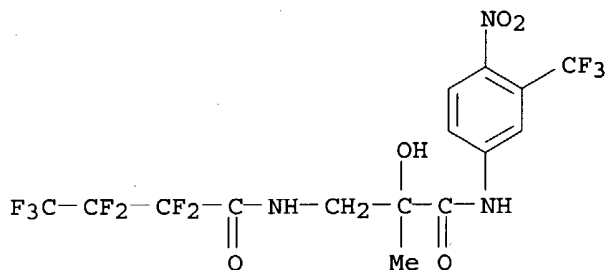
IT 279228-82-9P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
effector, except adverse); BSU (Biological study, unclassified); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)

(preparation of amides and ureas as androgen receptor suppressors)

RN 279228-82-9 HCAPLUS

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

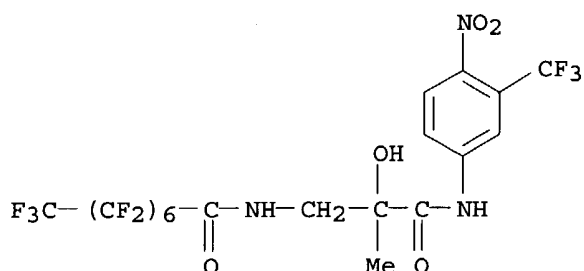


IT 279228-83-0P 279229-05-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

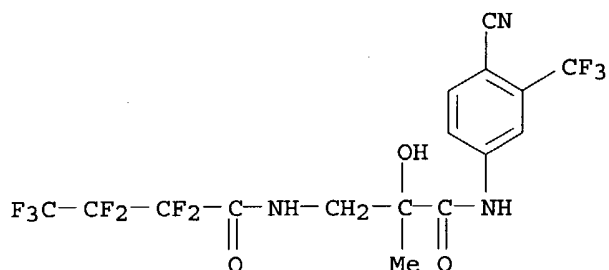
(preparation of amides and ureas as androgen receptor suppressors)

RN 279228-83-0 HCAPLUS

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI)
(CA INDEX NAME)

RN 279229-05-9 HCAPLUS

CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



=> fil uspatall

FILE 'USPATFULL' ENTERED AT 16:47:55 ON 16 MAR 2004

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FILE 'USPAT2' ENTERED AT 16:47:55 ON 16 MAR 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitstr tot 143

L43 ANSWER 1 OF 3 USPATFULL on STN

AN 2004:19428 USPATFULL

TI A TOPICAL ANTIANDROGEN FOR HAIR LOSS AND OTHER HYPERANDROGENIC CONDITIONS

IN Sovak, Milos, 3333 North Torrey Pines, Number 100, La Jolla, CA, UNITED STATES 92037

Seligson, Allen L, 1770 Deavers, San Marcos, CA, UNITED STATES 92061

Campion, Brian, 4950 Santa Cruz Avenue, San Diego, CA, UNITED STATES 92067

Brown, Jason W, 959 North Vulcan Avenue, Leucadia, CA, UNITED STATES 92024

PA Biophysica, Inc., La Jolla, CA, UNITED STATES, 92037 (U.S. corporation)

PI US 2004014732 A1 20040122

AI US 2000-580503 A1 20000525 (9)

DT Utility

FS APPLICATION

LREP FLIESLER DUBB MEYER & LOVEJOY, LLP, FOUR EMBARCADERO CENTER, SUITE 400, SAN FRANCISCO, CA, 94111

CLMN Number of Claims: 11

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 466

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Abstract of the Disclosure

Compound (2-hydroxy-2-methyl-N-(4-X-3-(trifluoromethyl)phenyl)-3-(2,2,2-perfluoroacylamino)propionamide) applied topically, specifically inhibits and/or eliminates cutaneous androgen receptors and thus finds cosmetic use in skin afflictions associated with excess androgens such as hair effluvium, hirsutism, acne and androgenic alopecia.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

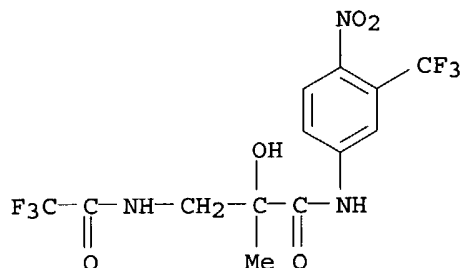
IT 260980-89-0, BP 766 353279-25-1, BP 780

(topical antiandrogen for hair loss and other hyperandrogenic conditions)

RN 260980-89-0 USPATFULL

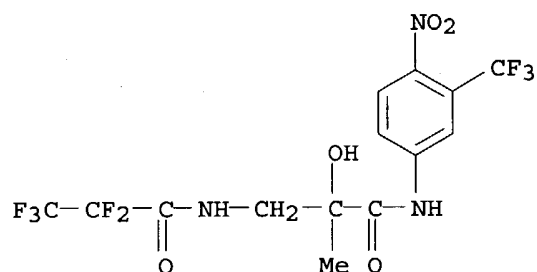
CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-

[(trifluoroacetyl)amino] - (9CI) (CA INDEX NAME)



RN 353279-25-1 USPATFULL

CN Propanamide, 2,2,3,3,3-pentafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl] - (9CI) (CA INDEX NAME)

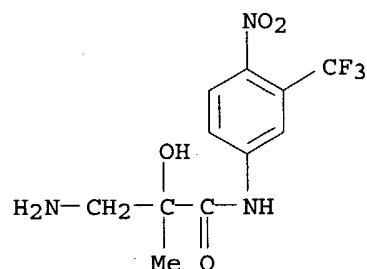


IT 279228-81-8P, BP 34

(topical antiandrogen for hair loss and other hyperandrogenic conditions)

RN 279228-81-8 USPATFULL

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)



L43 ANSWER 2 OF 3 USPATFULL on STN

AN 2002:283295 USPATFULL

TI Androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes

IN Sovak, Milos, La Jolla, CA, United States

Seligson, Allen L., San Marcos, CA, United States

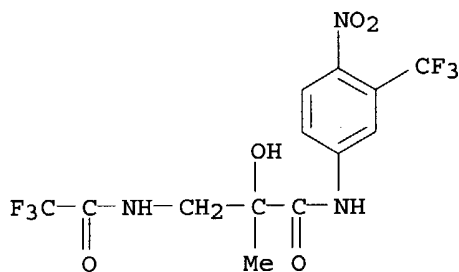
Douglas, III, James Gordon, San Diego, CA, United States

Campion, Brian, Leucadia, CA, United States

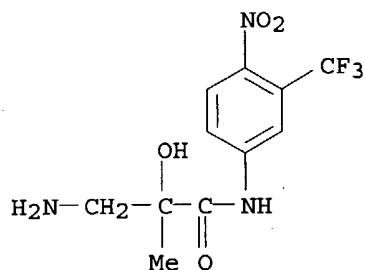
Brown, Jason W., San Diego, CA, United States

PA Biophysica, Inc., La Jolla, CA, United States (U.S. corporation)

PI US 6472415 B1 20021029
 AI US 2000-502376 20000211 (9)
 RLI Continuation-in-part of Ser. No. US 1998-215351, filed on 18 Dec 1998
 DT Utility
 FS GRANTED
 EXNAM Primary Examiner: Higel, Floyd D.; Assistant Examiner: Sackey, Ebenezer
 LREP Libby, Jeffrey M., Rae-Venter, Barbara, Rae-Venter Law Group, P.C.
 CLMN Number of Claims: 35
 ECL Exemplary Claim: 1
 DRWN 5 Drawing Figure(s); 2 Drawing Page(s)
 LN.CNT 1339
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 AB Substituted phenylalanines are provided comprising an hydantoin, urea or 2-hydroxyl, 2-methylpropionyl group, dimers thereof and alkyl, polyfluoroamido and haloaryl amino derivatives thereof, as well as radiolabeled derivatives thereof. The compounds bind specifically to the androgen receptor and find use in indications associated with the androgen receptor, such as cell hyperplasia dependent on androgens, hirsutism, acne and androgenetic alopecia.
 CAS INDEXING IS AVAILABLE FOR THIS PATENT.
 IT 260980-89-0P, Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
 RN 260980-89-0 USPATFULL
 CN Propanamide, 2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)



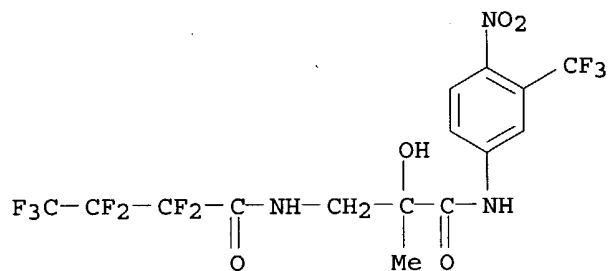
IT 279228-81-8P, Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)
 RN 279228-81-8 USPATFULL
 CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT 279228-82-9P, Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]-
 279228-83-0P, Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- 279229-05-9P,
 Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro-
 (synthesis and activity of substituted anilines as androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes)

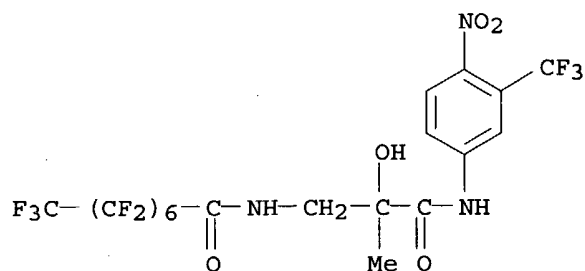
RN 279228-82-9 USPATFULL

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



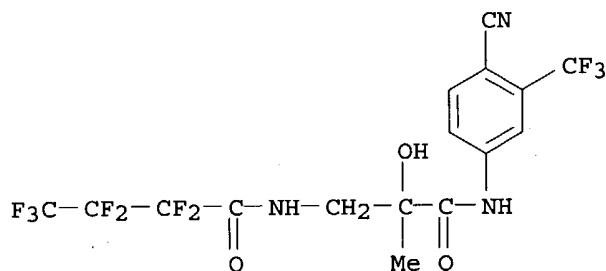
RN 279228-83-0 USPATFULL

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI)
 (CA INDEX NAME)



RN 279229-05-9 USPATFULL

CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



L43 ANSWER 3 OF 3 USPATFULL on STN

AN 2001:18495 USPATFULL

TI Androgen receptor suppressors in the therapy and diagnosis of prostate cancer, alopecia and other hyper-androgenic syndromes

IN Sovak, Milos, La Jolla, CA, United States

Seligson, Allen L., San Marcos, CA, United States

Douglass, III, James Gordon, San Diego, CA, United States

Campion, Brian, Leucadia, CA, United States

Brown, Jason W., San Diego, CA, United States

PA Biophysica, Inc., La Jolla, CA, United States (U.S. corporation)

PI US 6184249 B1 20010206

AI US 1998-215351 19981218 (9)

DT Utility

FS Granted

EXNAM Primary Examiner: Higel, Floyd D.; Assistant Examiner: Sackey, Ebenezer

LREP Rowland, Bertram I. Rae-Venter Law Group, P.C.

CLMN Number of Claims: 7

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 985

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted phenylalanines are provided comprising an hydantoin, urea or 2-hydroxyl, 2-methylpropionyl group, dimers thereof and alkyl, polyfluoroamido and haloarylamino derivatives thereof, as well as radiolabeled derivatives thereof. The compounds bind specifically to the androgen receptor and find use in the therapy of indications associated with the androgen receptor, such as, hirsutism, acne and androgenetic alopecia, and in the therapy and diagnosis of cell hyperplasia dependent on androgens.

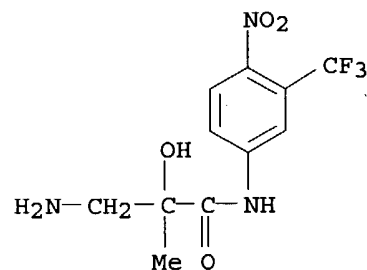
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 279228-81-8P

(preparation of amides and ureas as androgen receptor suppressors)

RN 279228-81-8 USPATFULL

CN Propanamide, 3-amino-2-hydroxy-2-methyl-N-[4-nitro-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

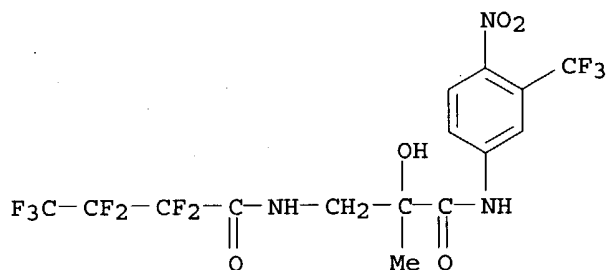


IT 279228-82-9P

(preparation of amides and ureas as androgen receptor suppressors)

RN 279228-82-9 USPATFULL

CN Butanamide, 2,2,3,3,4,4,4-heptafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)

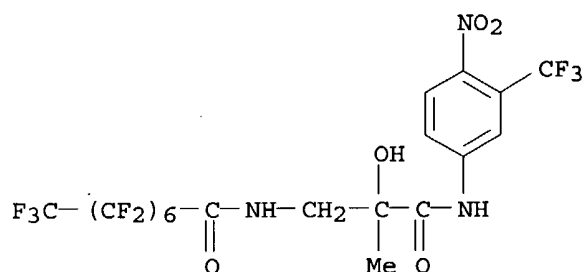


IT 279228-83-0P 279229-05-9P

(preparation of amides and ureas as androgen receptor suppressors)

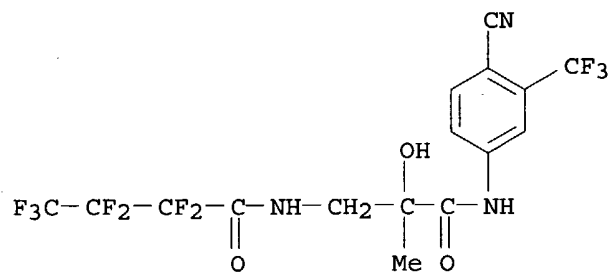
RN 279228-83-0 USPATFULL

CN Octanamide, 2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-N-[2-hydroxy-2-methyl-3-[[4-nitro-3-(trifluoromethyl)phenyl]amino]-3-oxopropyl]- (9CI) (CA INDEX NAME)



RN 279229-05-9 USPATFULL

CN Butanamide, N-[3-[[4-cyano-3-(trifluoromethyl)phenyl]amino]-2-hydroxy-2-methyl-3-oxopropyl]-2,2,3,3,4,4,4-heptafluoro- (9CI) (CA INDEX NAME)



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